



03-08-04

PHA 4159.33 (3203/1B/US)  
PATENT

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Application of Michael S. South, et al.

Art Unit 1614

Serial No. 10/680,723

Filed October 7, 2003

Confirmation No. 2648

For SUBSTITUTED POLYCYCLIC ARYL AND HETEROARYL PYRIMIDINONES  
USEFUL FOR SELECTIVE INHIBITION OF THE COAGULATION CASCADE

March 5, 2004

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**INFORMATION DISCLOSURE STATEMENT**

\* In accordance with 37 C.F.R. 1.97 and 1.98 and MPEP 609, and in compliance with the  
\* duty of disclosure set forth in 37 C.F.R.1.56, applicants submit the attached PTO/SB/08A for  
consideration by the Patent and Trademark Office in the above-entitled application and to be  
made of record therein.

\* The references listed on the attached PTO/SB/08A have been previously submitted in  
parent application Serial No. 09/716,951 and, therefore, in accordance with MPEP 609, are not  
being provided at this time.

Respectfully submitted,

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<b>PTO/SB/08A</b>  <b>INFORMATION DISCLOSURE STATEMENT BY APPLICANT</b>  (use as many sheets as necessary)				<b>Complete if Known</b>	
				Application Number	10/680,723
				Filing Date	October 7, 2003
				Confirmation Number	2648
				First Named Inventor	Michael S. South, et al.
				Group Art Unit	1614
				Examiner Name	To be assigned
Sheet	1	of	6	Attorney Docket No.	PHA 4159.33 (3203/1B/US)

U.S. PATENT DOCUMENTS					
Examiner Initials*	Cite No. <sup>1</sup>	U.S. Patent Document		Name of Patentee or Applicant of Cited Document	Date of Publication of Cited Document MM-DD-YYYY
		Number	Kind Code <sup>2</sup> (if known)		
	1	4,489,096	B1	Terao et al.	12-18-1984
	2	4,851,413	B1	Terao et al.	07-25-1989
	3	4,992,469	B1	Ozawa et al.	02-12-1991
	4	5,008,267		Katakami, et al.	04-16-1991
	5	5,304,658	B1	Terao et al.	04-19-1994
	6	5,441,960		Bernstein et al.	08-15-1995
	7	5,656,645		Tamura et al.	08-12-1997
	8	5,658,930		Tamura et al.	08-19-1997
	9	5,668,289	B1	Sanderson et al.	09-16-1997
	10	5,741,819	B1	Illig et al.	04-12-1998
	11	5,792,779	B1	Sanderson et al.	08-11-1998
	12	5,861,380	B1	Gyorkos et al.	01-19-1999
	13	5,866,573	B1	Sanderson et al.	02-02-1999
	14	5,869,487	B1	Coburn et al.	02-09-1999
	15	5,872,138	B1	Naylor-Olsen et al.	02-16-1999

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	16	6,011,158	B1	Tamura et al.	01-04-2000
	17	6,037,356	B1	Lu et al.	03-14-2000
	18	6,180,627	B1	Blagg et al.	01-30-2001

### FOREIGN PATENT DOCUMENTS

Examiner Initials*	Cite No. <sup>1</sup>	Foreign Patent Document			Name of Patentee or Applicant of Cited Document	Date of Publication of Cited Document MM-DD-YYYY	T <sup>6</sup>
		Office	Number <sup>4</sup>	Kind Code <sup>2</sup> (if known)			
	19	DE	198 51 421	A1	Boehringer Ingelheim Pharma KG	05-11-2000	
	20	EP	0 354 495	A1	Godecke Aktiengesellschaft	02-14-1990	
	21	EP	528 633	B1	Zeneca Limited	10-18-2000	
	22	EP	826 671	A1	The Green Cross Corporation	03-04-1998	
	23	EP	936 216	A1	Nippon Kayaku Kabushiki Kaisha	08-18-1999	
	24	EP	940 400	A1	Yoshitomi Pharmaceutical Industries, Ltd.	09-08-1999	
	25	EP	997 474	A1	Pfizer Inc.	05-03-2000	
	26	WO	93/21214	A1	Zeneca Limited	10-28-1993	
	27	WO	96/18644	A1	Corvas International Inc.	06-20-1996	
	28	WO	96/33974	A1	The Green Cross Corporation (abstract)	10-31-1996	

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	29	WO	96/39380	A1	3-Dimensional Pharmaceuticals, Inc.	12-12-1996	
	30	WO	96/40100	A1	3-Dimensional Pharmaceuticals, Inc.	12-19-1996	
	31	WO	97/01338	A1	Merck & Co., Inc.	01-16-1997	
	32	WO	97/30708	A1	Merck & Co., Inc.	08-28-1997	
	33	WO	97/40024	A1	Merck & Co., Inc.	10-30-1997	
	34	WO	97/46207	A2	Corvas International Inc.	12-11-1997	
	35	WO	98/08840	A1	Merck & Co., Inc.	03-05-1998	
	36	WO	98/09949	A1	Nippon KayKabushiki Kaisha (abstract)	03-12-1998	
	37	WO	98/09987	A1	Biochem Pharma, Inc.	03-12-1998	
	38	WO	98/10763	A1	Merck & Co., Inc.	03-19-1998	
	39	WO	98/16525	A1	Cor Therapeutics, Inc.	04-23-1998	
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	42	WO	98/31670	A1	Merck & Co., Inc.	07-23-1998	
	43	WO	98/42342	A1	Merck & Co., Inc.	10-01-1998	
	44	WO	98/47876	A1	Akzo Nobel N.V.	10-29-1998	
	45	WO	98/50420	A1	Akzo Nobel N.V.	11-12-1998	
	46	WO	99/00121	A1	Eli Lilly and Company	01-07-1999	

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	47	WO	99/00126	A1	Eli Lilly and Company	01-07-1999	
	48	WO	99/00128	A1	Eli Lilly and Company	01-07-1999	
	49	WO	99/11267	A1	Merck & Co., Inc.	03-11-1999	
	50	WO	99/26920	A1	Corvas International, Inc.	06-03-1999	
	51	WO	99/26926	A1	3-Dimensional Pharmaceuticals, Inc.	06-03-1999	
	52	WO	99/36426	A1	Warner-Lambert Company	07-22-1999	
	53	WO	99/43660	A1	Neurogen Corporation	09-02-1999	
	54	WO	99/48892	A1	Merck & Sharp & Dohme Limited	09-30-1999	
	55	WO	99/59591	A1	Merck & Co., Inc.	11-25-1999	
	56	WO	99/61442	A1	Merck & Co., Inc.	12-02-1999	
	57	WO	99/62538	A1	Warner-Lambert Company	07-22-1999	
	58	WO	99/64446	A1	3-Dimensional Pharmaceuticals, Inc.	12-16-1999	
	59	WO	00/18762	A1	Merck & Co., Inc.	04-06-2000	
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	61	WO	00/26211	A1	Merck & Co., Inc.	05-11-2000	
	62	WO	00/32574	A1	Sanofi-Synthelabo (abstract)	06-08-2000	
	63	WO	00/39102	A1	Du Pont Pharmaceuticals Company	07-06-2000	
	64	WO	00/69826	A1	Monsanto Company	11-23-2000	

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	65	WO	00/69832	A1	Monsanto Company	11-23-2000	
	66	WO	00/69833	A1	Monsanto Company	11-23-2000	

### OTHER ART - NON PATENT LITERATURE DOCUMENTS

Examiner Initials*	Cite No. <sup>1</sup>	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.) date, page(s), volume-issue number(s), publisher, city and/or country where published.	T <sup>6</sup>
	67	COBURN, C.A., "Small-molecule direct thrombin inhibitors 1997-2000." Expert Opinions on Therapeutic Patents, 2001, 721-738, Vol. 11, No. 5	
	68	XP-002182132 - DARVAS, et al., "Investigation of the Common Mechanism of Action of Antibacterial Compounds Containing gamma-pyridone-beta-carboxylic acid Structure by Principal Component." Arzneim.-Forsch., 1979, pp. 1334-1339, Vol. 29, 9.	
	69	HANDIN, R., "Bleeding and Thrombosis." Harrison's Principles of Internal Medicine, 12th Edition, 1991, pp. 348-353, McGraw-Hill, Inc., New York.	
	70	XP-002172033 - KOHAMA et al., "Preparation of Piperidinyloxyacetylaminobenzoylalanine Derivatives and Analogs as Antithrombotics." JP 07,233,148.	
	71	MAJERUS, et al. "Anticoagulant, Thrombolytic, and Antiplatelet Drugs." Goodman & Gilman's The Pharmacological Basis of Therapeutics, Ninth Edition, 1996, pp. 1341-1359, McGraw-Hill, New York.	
	72	XP-002187583 - MOERNER, Hoppe-Seyler's Z. Physiol. Chem., 69, 1910; 357.	
	73	PATEL et al., "Directed Aminomethylation of 3-Hydroxy-3(1H)-pyridinones and 3-hydroxy-4(1H)-pyridinones: Synthesis of iso-Deferiprone." Tetrahedron, 1996, pp. 1835-1840, Vol. 52, No. 5.	
	74	SANDERSON, et al., "L-373,890, An Achiral, NonCovalent, Subnanomolar Thrombin Inhibitor." Bioorganic & Medical Chemistry Letters, 1997, pp. 1497-1500, Vol. 7, No. 12.	
	75	XP-002182410 - TRE COURT et al., "First Synthesis of (+)-harzianopyridone by Metalation of Polysubstituted O-pyridylcarbamates." J. Heterocycl. Chem., 1995, pp. 1117-1114, Vol. 32, No. 4.	

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	76	TULINSKY et al., "Novel Asymmetric Synthesis of Atropisomeric 6-Aryl Pyrazinones via an Unusual Chirality Transfer Process." J. Org. Chem., 1999, pp. 93-100, Vol. 64, No. 1.	

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